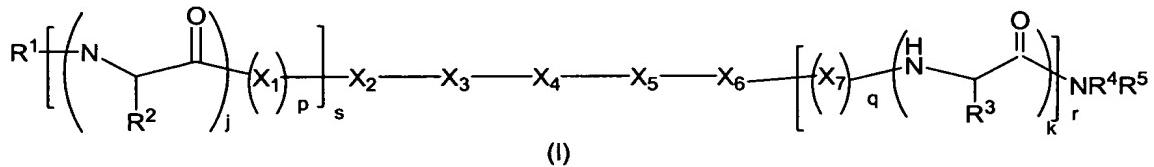


CLAIMS

What is claimed is:

1. A compound of Formula (I):



5

or a pharmaceutically acceptable salt, solvate, hydrate or N-oxide thereof

wherein:

10 j and k are independently 0 or 1;

p and q are independently an integer including and between 0 and 100;

r and s are independently 0 or 1;

15 R¹ is acyl, substituted acyl, acyl chelate, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, imino or substituted imino;

20 R² is C₁-C₆ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of -NR⁶R⁷, -OR⁸, -CO₂R⁹, -S(O)_zR¹⁰, -P(OR¹¹)OR¹², aryl and substituted aryl;

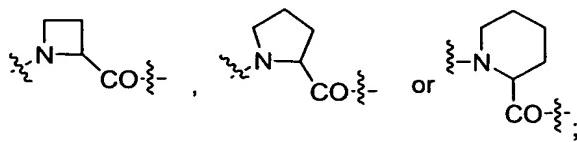
25 R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are independently selected from the group consisting of hydrogen, acyl, substituted acyl, acyl chelate, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, imino and substituted imino;

X₁ is -NH(C=C)_gCO-, -NH(CH₂)_hCO- or -NHCH(CH₃)CO-;

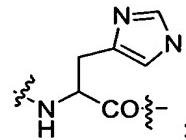
g and h are independently 1, 2, 3, 4, 5 or 6

30

X₂ is

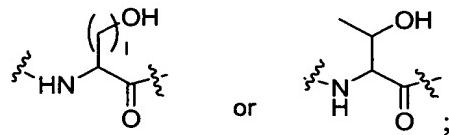


X₃ is



5

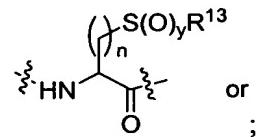
X₄ is



1 is an integer from 1 to 4;

10

X₅ is



R¹³ is hydrogen, alkyl, substituted alkyl, acyl, substituted acyl, arylalkyl, substituted arylalkyl, aryl, substituted aryl or -S(O)_xR¹⁴;

15

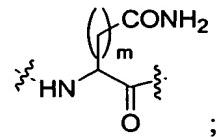
n is an integer from 1 to 5;

R¹⁴ is alkyl, substituted alkyl, acyl, substituted acyl, arylalkyl, substituted arylalkyl, aryl or substituted aryl;

20

y and x are independently 0, 1 or 2;

X₆ is



m is an integer from 1, 2, 3 or 4;

5 X₇ is -NH(C=C)_dCO-, -NH(CH₂)_eCO- or -NHCH(CH₃)CO-;

d and e are independently 1, 2, 3, 4, 5 or 6;

10 R³ is C₁-C₆ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of -NR¹⁵R¹⁶, -OR¹⁷, -CO₂R¹⁸, -S(O)_nR¹⁹, -P(OR²⁰)OR²¹, aryl and substituted aryl;

R⁴ and R⁵ are independently hydrogen, alkyl or substituted alkyl; and

15 R¹⁵, R¹⁶, R¹⁷, R¹⁸, R¹⁹, R²⁰ and R²¹ are independently selected from the group consisting of hydrogen, acyl, substituted acyl, acyl chelate, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, imino and substituted imino;

20 with the proviso that R¹ is not acetyl when R⁴ and R⁵ are hydrogen and r and s are 0.

2. The compound of Claim 1, wherein R¹ is not acetyl when R⁴ and R⁵ are hydrogen.

25 3. The compound of Claim 1, wherein at least one of r or s are 1.

4. The compound of Claim 1 wherein s is 1 and r is 0.

5. The compound of Claim 1, wherein s is 0 and r is 1.

30 6. The compound of Claim 1, wherein R¹ is acyl, substituted acyl, acyl chelate, imino or substituted imino.

7. The compound of Claim 1, wherein R² is C₁-C₆ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of -NR⁶R⁷, -OR⁸ and -CO₂R⁹.

5

8. The compound of Claim 7, wherein R⁶, R⁷, R⁸ and R⁹ are independently selected from the group consisting of hydrogen, acyl, substituted acyl, acyl chelate, imino or substituted imino.

10

9. The compound of Claim 1, wherein X₁ is -NH(CH₂)_nCO-.

10. The compound of Claim 1, wherein R³ is C₁-C₆ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of -NR¹⁵R¹⁶, -OR¹⁷ and -CO₂R¹⁸.

15

11. The compound of Claim 1, wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrogen, acyl, substituted acyl, acyl chelate, imino or substituted imino.

20

12. The compound of Claim 1, wherein:

R¹ is acyl or substituted acyl;

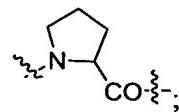
25 R² is C₁-C₄ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of -NR⁶R⁷, aryl and substituted aryl;

R⁶ and R⁷ are independently selected from the group consisting of hydrogen, acyl and substituted acyl;

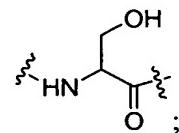
30

X₁ is -NH(CH₂)_nCO-;

X₂ is

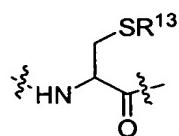


X₄ is



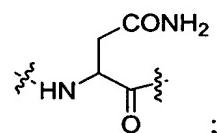
5

X₅ is



R¹³ is hydrogen, acyl, substituted acyl, alkyl or substituted
10 alkyl;

X₆ is



15 X₇ is -NH(CH₂)_eCO-;

R³ is C₁-C₄ alkyl with at least one hydrogen atom replaced by a
substituent selected from the group consisting of -NR¹⁵R¹⁶, aryl and substituted aryl;

20 R¹⁵ and R¹⁶ are independently selected from the group consisting of
hydrogen, acyl and substituted acyl; and

R⁴ and R⁵ are hydrogen.

25 13. The compound of Claim 12, wherein:

s is 0 and r is 1;

k is 1;

5 R¹ is acetyl;

R¹³ is hydrogen;

e is 1; and

10 R³ is -(CH₂)₄NH₂.

14. The compound of Claim 13, wherein q is 2, 4 or 6.

15. The compound of Claim 12, wherein

s is 0 and r is 1;

k is 1;

20 R¹ is acetyl;

R¹³ is hydrogen;

25 e is 2, 4 or 6; and

R³ is -(CH₂)₄NHCO(CH₂)₂-Ph-(4-OH).

16. The compound of Claim 15, wherein q is 1.

30 17. The compound of Claim 12, wherein:

s is 0 and r is 1;

k is 1;

R¹ is acetyl;

5 R¹³ is hydrogen;

e is 2, 4 or 6 and

R³ is -CH₂-Ph-(4-OH).

10

18. The compound of Claim 17, wherein q is 1;

19. The compound of Claim 12, wherein

15

s is 0 and r is 1;

k is 1;

R¹ is acetyl;

20

R¹³ is methyl;

e is 1; and

25

R³ is -(CH₂)₄NH₂.

20. The compound of Claim 19, wherein q is 2.

21. The compound of Claim 12, wherein:

30

s is 1 and r is 0;

j is 1;

R¹ is acetyl;

R¹³ is hydrogen;

5 h is 1; and

R² is -CH₂-Ph-(4-OH).

22. The compound of Claim 21, wherein p is 2, 4 or 6.

10 23. The compound of Claim 12, wherein

s is 1 and r is 0;

15 j is 1;

R¹ is acetyl;

R¹³ is hydrogen;

20 h is 2, 4, or 6; and

R² is -CH₂-Ph-(4-OH).

25 24. The compound of Claim 23, wherein p is 1.

25. The compound of Claim 12, wherein:

s is 1 and r is 0;

30 j is 0;

R¹ is -CO(CH₂)₂-Ph-(4-OH);

R¹³ is hydrogen; and

h is 1.

5 26. The compound of Claim 25, wherein p is 2, 4 or 6.

27. The compound of Claim 12, wherein:

s is 1 and r is 0;

10 j is 0;

R¹ is -CO(CH₂)₂-Ph-(4-OH);

15 R¹³ is hydrogen; and

h is 2, 4 or 6.

28. The compound of Claim 27, wherein p is 1.

20 29. The compound of Claim 12, wherein:

s is 0 and r is 0;

25 R¹ is -(CH₂)₂-Ph-(4-OH); and

R¹³ is hydrogen.

30. The compound of Claim 12, wherein:

30 s is 0 and r is 0;

R¹ is -COPh-(4-F); and

R^{13} is hydrogen.

31. The compound of Claim 12, wherein:

5 s is 0 and r is 1;

k is 1;

R^1 is acetyl;

10 R^{13} is methyl or hydrogen;

e is 1; and

15 R^3 is $-(CH_2)_4NHCOPh-(4-F)$.

32. The compound of Claim 31, wherein q is 2.

33. The compound of Claim 12, wherein:

20 s is 0 and r is 1;

k is 1;

25 R^1 is acetyl;

R^{13} is hydrogen;

e is 1; and

30 R^3 is $-(CH_2)_4NH-8-[4'-fluorobenzylamino]suberoyl$ or -
 $(CH_2)_4NHCOCH_2F$

34. The compound of Claim 33, wherein q is 2.

35. The compound of Claim 12, wherein:

s is 1 and r is 0;

5

j is 0;

R¹ is 8-[4'-fluorobenzylamino]suberoyl or -COCH₂F;

10

R¹³ is hydrogen; and

h is 2.

36. The compound of Claim 35, wherein p is 1.

15

37. The compound of Claim 12, wherein

s is 0 and r is 1;

20

k is 1;

R¹ is acetyl;

R¹³ is hydrogen; and

25

R³ is -CH₂Ph-(3-I, 4-OH) or -CH₂Ph-(3,5-diI, 4-OH).

38. The compound of Claim 37, wherein q is 0.

30

39. The compound of Claim 37, wherein q is 1 and e is 2.

40. The compound of Claim 37, wherein q is 1 and e is 1.

41. The compound of Claim 12, wherein

s is 1 and r is 0;

j is 1;

5

R¹ is acetyl;

R¹³ is hydrogen; and

10

R² is -CH₂Ph-(3-I, 4-OH) or -CH₂Ph-(3,5-diI, 4-OH).

42. The compound of Claim 41, wherein p is 0.

43. The compound of Claim 12, wherein

15

s is 0 and r is 0;

R¹ is -CO(CH₂)₂Ph (4-OH, 3, 5 di-I); and

20

R¹³ is hydrogen.

44. The compound of Claim 12, wherein

s is 1 and r is 0;

25

j is 0;

R¹ is -CO(CH₂)₂Ph (4-OH, 3, 5 di-I);

30

h is 2; and

R¹³ is hydrogen.

45. The compound of Claim 44, wherein p is 1.

46. The compound of Claim 12, wherein

s is 1 and r is 0;

5

j is 1;

R¹ is acetyl;

10

R² is -CH₂-Ph (4-OH, 3, 5 di-I);

h is 2; and

R¹³ is hydrogen.

15

47. The compound of Claim 46, wherein p is 1.

48. The compound of Claim 12, wherein

20

s is 0 and r is 1;

R³ is -(CH₂)₄NHCO(CH₂)₂-Ph (4-OH, 3, 5 di-I);

e is 1; and

25

R¹³ is hydrogen.

49. The compound of Claim 48, wherein q is 2.

30

50. The compound of Claim 1, wherein:

R¹ is acyl chelate;

R^2 , R^6 , R^7 , X_1 , X_2 , X_4 , X_5 , R^{13} , X_6 , X_7 , R^3 , R^{15} , R^{16} , R^4 and R^5
are as defined in Claim 12.

51. The compound of Claim 50, wherein

5

s is 1 and r is 0;

j is 0;

10 R^1 is DOTA-In;

h is 2; and

R^{13} is hydrogen.

15

52. The compound of Claim 51, wherein p is 1.

53. The compound of Claim 50, wherein

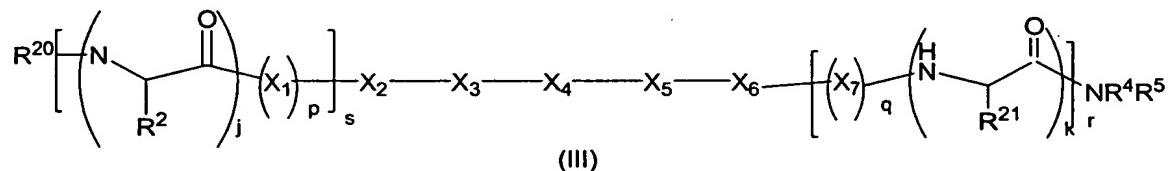
20 s is 0 and r is 0;

R^1 is DPTA or DPTA-In; and

R^{13} is hydrogen.

25

54. A compound of Formula (III):



or a pharmaceutically acceptable salt, solvate, hydrate or N-oxide thereof

30 wherein:

R^{20} is acyl, substituted acyl, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, imino, substituted imino or a diagnostic agent;

5 R^{21} is C_1 - C_6 alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of $-NHR^{22}$;

10 R^{22} is hydrogen, acyl, substituted acyl, alkyl, substituted alkyl or a diagnostic agent; and

15 j, k, p, q, r, s, R^2 , X_1 , X_2 , X_3 , X_4 , X_5 , X_6 , X_7 , R^4 and R^5 are as defined in Claim 1;

with the proviso that at least one of R^{20} and R^{22} is a diagnostic agent.

20 55. The compound of Claim 54, wherein R^2 , X_1 , X_2 , X_3 , X_4 , X_5 , X_6 , X_7 , R^4 and R^5 are as defined in Claim 12.

25 56. The compound of Claim 55, wherein R^{20} is a fluorescent agent.

30 57. The compound of Claim 56, wherein R^{20} is 5/6 carboxy fluorescein, s is 1, r is 0, j is 0, e is 2 and p is 1.

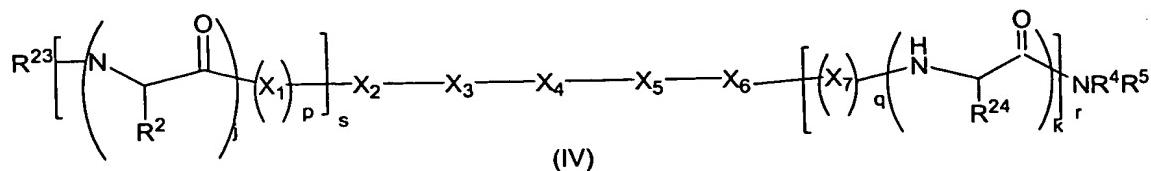
35 58. The compound of Claim 55 wherein R^{22} is a fluorescent agent.

40 59. The compound of Claim 58, wherein R^{21} is $(CH_2)_4NH-$, R^{22} is 5/6 carboxy fluorescein, s is 0, r is 1, k is 1, e is 1 and q is 2.

45 60. The compound of Claim 55, wherein R^{21} is $(CH_2)_4NH-$, R^{22} is biotin, s is 0, r is 1, k is 1, e is 1 and q is 2.

50

61. A compound of Formula (IV):



or a pharmaceutically acceptable salt, solvate, hydrate or N-oxide thereof
wherein:

5

R^{23} is acyl, substituted acyl, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, imino, substituted imino or a pegylating agent;

10 R^{24} is $\text{C}_1\text{-C}_6$ alkyl with at least one hydrogen atom replaced by a substituent selected from the group consisting of $-\text{NHR}^{28}$ wherein R^{28} is hydrogen, acyl, substituted acyl, alkyl substituted alkyl or a pegylating agent; and

15 $\text{j}, \text{k}, \text{p}, \text{q}, \text{r}, \text{s}, \text{R}^2, \text{X}_1, \text{X}_2, \text{X}_3, \text{X}_4, \text{X}_5, \text{X}_6, \text{X}_7, \text{R}^4$ and R^5 are as defined in Claim 1;

15

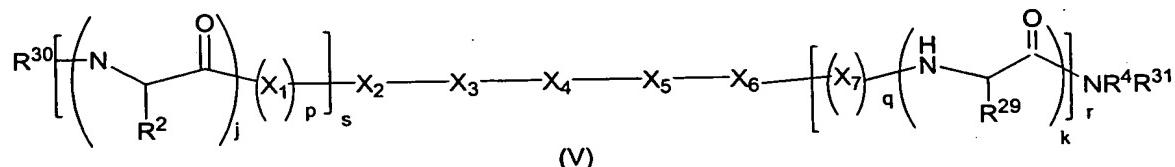
with the proviso that at least one of R^{23} or R^{28} is a pegylating agent.

62. The compound of Claim 61, wherein $\text{R}^2, \text{X}_1, \text{X}_2, \text{X}_3, \text{X}_4, \text{X}_5, \text{X}_6, \text{X}_7, \text{R}^4$ and R^5 are as defined in Claim 12.

20

63. The compound of Claim 62 wherein R^{23} is m-dPEG, s is 1, r is 0, j is 0, h is 2 and p is 1.

64. A compound of Formula (V):



25

or a pharmaceutically acceptable salt, solvate, hydrate or N-oxide thereof
wherein:

R²⁹ is C₁-C₆ alkyl with at least one hydrogen atom replaced by -NHR³²;

R³⁰ is acyl, substituted acyl, alkyl, substituted alkyl or a therapeutic agent.

5 R³¹ is hydrogen, alkyl, substituted alkyl or a therapeutic agent;

R³² is hydrogen, acyl substituted acyl, alkyl, substituted alkyl or a therapeutic agent; and;

10 j, k, p, q, r, s, R², X₁, X₂, X₃, X₄, X₅, X₆, X₇ and R⁴ and R⁵ are as defined in
Claim 1;

with the proviso that at least one of R³⁰, R³¹ and R³² is a therapeutic agent.

15 65. The compound of Claim 64, wherein R², X₁, X₂, X₃, X₄, X₅, X₆, X₇ and
R⁴ are as defined in Claim 12.

66. The compound of Claim 65, wherein R¹³ is methyl or acetyl, s is 0, r is
0, R³⁰ is acetyl and R³¹ is a therapeutic agent.

20 67. The compound of Claim 66, wherein the therapeutic agent is
doxorubicin.

68. The compound of Claim 65, wherein R¹³ is methyl or hydrogen, s is 0,
25 r is 1, k is 1, e is 1, q is 2, R³⁰ is acetyl, R³¹ is hydrogen, R²⁹ is -(CH₂)₄NHR³².

69. The compound of Claim 68, wherein the R³² is -CO(CH₂)₃-
doxorubicin.

30 70. The compound of Claim 68, wherein R³² is protoporphyrin.

71. A pharmaceutical composition comprising a compound of Claim 1,
and a pharmaceutically acceptable vehicle.

72. A method for treating or preventing cancer in a patient comprising administering to the patient in need of such treatment or prevention a therapeutically effective amount of a compound of Claim 1.

5 73. A method for treating or preventing cancer in a patient comprising administering to the patient in need of such treatment or prevention a therapeutically effective amount of the pharmaceutical composition of Claim 71.

10 74. A method for detecting cancer in a patient comprising administering to the patient in need of such detection a diagnostically effective amount of the pharmaceutical composition of Claim 71.